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NEWS 4
NEWS 4
NEWS 4
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NEWS 5
NEWS 5
NEWS 5
NEWS 5
NEWS 6
NEWS 7
NEWS 8
NEWS 7
NEWS 9
NEWS 7
NEWS 9
NEWS 9
NEWS 7
NEWS 9
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NEWS EXPRESS JUNE 13 CURRENT MINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JF),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

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-> FILE REG COST IN U.S. DOLLARS FULL ESTIMATED COST

SINCE FILE ENTRY 0.21

FILE 'REGISTRY' ENTERED AT 13:21:13 ON 10 NOV 2005

http://www.cas.org/ONLINE/UG/regprops.htmlTesting the current file.... screen ENTER SCREEN EXPRESSION OR (END) :end

Uploading C:\Program Files\Stnexp\Queries\HALOFENATE RESOLUTION.str

chain nodes : 13 14 15 16 17 18 21 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 12 chain bonds :

5-13 10-14 13-14 14-15 14-21 15-16 15-17
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds:
5-13 13-14 15-16 15-17
exact bonds:
10-14 14-15 14-21
normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems:
containing 1:7:

Match level:
1:Atom 2:Atom 1:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 19:CLASS 18:CLASS 19:CLASS 19:CLASS 19:CLASS 19:CLASS 19:CLASS 1

STRUCTURE UPLOADED

e> que L1

-> D L1 L1 HAS NO ANSWERS L1

Structure attributes must be viewed using STN Express query preparation.

=> S L1 SAMPLE SEARCH INITIATED 13:22:27 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 987 TO ITERATE

100.0% PROCESSED 987 ITERATIONS SEARCH TIME: 00.00.01

39 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
PROJECTED ITERATIONS: 17856 TO 21624
PROJECTED ANSMERS: 406 TO 1154

39 SEA SSS SAM L1

-> S L1 SSS FULL
PULL SEARCH INITIATED 13:22:33 FILE 'REGISTRY'
PULL SCREEN SEARCH'COMPLETED - 19846 TO ITERATE

100.0% PROCESSED 19846 ITERATIONS SEARCH TIME: 00.00.01

568 ANSWERS

568 SEA SSS FUL L1

*> PILE CAPLUS COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL

FILE 'CAPLUS' ENTERED AT 13:22:38 ON 10 NOV 2005
USE 18 SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 10 Nov 2005 VOL 143 ISS 20 FILE LAST UPDATED: 9 Nov 2005 (20051109/ED)

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http://www.cas.org/infopolicy.html

-> 8 L4 L5 162 L4

=> 8 L5 AND (RESOL? OR ENANT? OR STEREO? OR ISOME? OR CHIRA?) 496482 RESOL? 83978 ENANT? ' 237060 STEREO?

13 FORD SIGNAL.
1359056 ISONE?
111601 CHIRA?
17 LS AND (RESOL? OR ENANT? OR STEREO? OR ISONE? OR CHIRA?)

L6 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2005:587179 CAPLUS DOCUMENT NUMBER: 143:97158

Preparation of biphenyl compounds as PPAR 8 agomists, pharmaceuticals containing them, and their

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

Preparation agonists, pharmaceuticals containing containing agonists, pharmaceutical containing those sumitomo Pharmaceutical Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 39 pp. CODEN: JKKAP

DOCUMENT TYPE:

DANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE JP 2005179261 PRIORITY APPLN. INFO.: OTHER SOURCE(S): 20050707 MARPAT 143:97158

Claimed are biphenyl compds. I [R1-R8 = H, OH, (un)substituted C1-6 alkyl, C2-6 alkenyl, C1-6alkovy, C6-10 arylaulfonyloxy, C5-7 cyclic aninocarbonyl, cyano, halo, etc.; adjacent 2 groups among R1-R8 may be linked to each other to form a condensed benzene, 5-6-membered (un)saturated carbocycly) optionally containing 1-2 heteroatom; R9 *H, P, (un)substituted C1-6 alkyl, C1-11 acyl, carboxy; R9 and R10 asy be linked to form C3-7 cycloalkane ring; R9 and/or R10 = substituent; R11, R12 = H, P, (un)substituted C1-6 alkyl; R11 and R12 may be linked to form C3-7 cycloalkane ring; R1, M2 = O, S. RR16 [R16 = H, (un)substituted C1-6 alkyl); R13 = carboxy, (un)substituted C1-6 alkyl); R13 = carboxy, (un)substituted C2-7 alkoxycarbonyl, C3-7 alkenyloxycarbonyl, carbamcyl, etc.) or their saltes. Also claimed are pharmaceuticals, PPAR & activators, blood HDL concentration-increasing agents, agents for treating low blood HDL, and antierterioaclerotic agents containing I (salts). Thus, (+)-[4-[1-[4-fluoro-4'-(trifluoromethyl]-1,1'-biphenyl-1-yl]ethoxyl-3-methylphenoxylacetic acid (11), obtained by chiral chromatog. resolution of the racemate which was prepared from 5-bromo-2-fluorobenzaldehyde and 4-(trifluoromethyl)phenylboronic acid with 5 steps, showed PPAR®-agonistic activity at EDS of 14 nM. Oral administration of 11 to mice for 6 wk showed 28% increase in blood HDL cholesterol concentration 87088-27-29
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapputic use); BIOL (Biological study); PREP (Preparation); USES (Vsee)

(Uses)
(preparation of biphenyl compds. as PPAR & agonists for increasing blood HDL and treating arteriosclerosis)
857086-27-2 CAPUUS
[1,1'-Biphenyl]-3-acetic acid, a-{4-(carboxymethoxy)-3-methylphenoxy]-4-fluoro-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

MO 2004112774 A1 20041229 MO 2004-US19616 20040618
M: AS. AO, AL, AN. AT. AU, AZ, BA, BB, BO, BR, BM, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DN, DZ, EC, EE, EO, ES, FI, GB, CD,
GS, GR, GR, HR, BU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MD, MX, MN, MM, MX, NZ, NA, NI,
NO, NZ, OM, FO, PH, PL, PT, RO, RU, SC, SD, SE, SG, KS, LS, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM,
RM: BM, GH, GM, KE, LS, MM, AZ, NA, SD, SL, SZ, TZ, UG, ZM, ZM, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
SE, SS, FI, FR, GB, GR, HU, ES, IT, LU, CM, CM, CM, CM, CM, TT, RO, SS,
SI, SK, TR, BP, BJ, CF, CG, CI, CM, GN, GO, GM, ML, MR, NE,
PRIORITY APPLN. INPO.: US 2003-656567 US 2003-600189 US 2003-6567 US 2003-608927P

MARPAT 142:93535 OTHER SOURCE (S):

APPLECANTS

A method for preparation of enantiemerically enriched title compde.

(I: R1 = alkyl, haloslkyl: X = halo) comprises (1) producing a solution comprising a solid enantiemerically enriched acid-base salt of the first enantiemer by contacting the enantiemeric mixture of the description of the acount of free first enantiemer if see second enantiemer in the solution of about 1:3; and (3) separating the solid acid-base salt of the first enantiemer from the solution at a temperature where the concentration of an acid-base salt of the second enantiemer of the description of the descrip

acid
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT
(Reactant); SPW (Synthetic preparation); PRSP (Preparation); RACT
(Reactant or resgent)
[resolution of a-(phenoxy)phenylacetic acid derive.)
23951-39-1 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-, (-)(9CI) (CA INDEX NAME)

857086-39-69 857086-42-19 857086-46-59
RL: RCT (Reactant); SFM (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenyl compds. as PPAR & agomists for increasing blood HDL and treating arteriosclerosis)
857086-39-6 CAPLUS
[1.1-Biphenyl]-3-acetic acid, a-[4-(2-ethoxy-2-excethoxy)-3-methylphenoxy]-4-fluoro-4'-(trifluoromethyl)-, monoethyl ester (9CI) (CA INDEX NAME)

857086-42-1 CAPLUS [1,1'-Bipheny]|-3-acetic acid, \(\alpha\ta(4-(acetyloxy)\taganta)\taganta-3-acetic acid, \(\alpha\ta(4-(acetyloxy)\taganta)\taganta-3-acethylphenoxy\)-4-[toro4'-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX RANG)

857086-46-5 CAPLUS
[1,1'-Biphenyl]-3-acetic acid, 4-fluoro- α-(4-hydroxy-3-methylphenoxy)-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OP 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
1XVENTOR(S):
PATENT ASSIGNEE(S):
DOCUMENT TYPS:

CAPLUS COPPRIGHT 2005 ACS on STN
2004:1156480 CAPLUS
142:93535
142:93535
Association of a (phenoxy) phenylacetic ecid derivatives
Daugs, Edward D.
Mctabolex, Inc., USA
PCT Int. Appl., 75 pp.
CODEN: PIXXD2
PATENT
PAT

DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

23953-40-4P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or resgent) (resolution of a-(phenoxy)phenylacetic seid deriva.)
2353-40-4C
2353-40-4C
240-4C
2553-40-4C
2553-40-4

Rotation (+)

26718-25-2, Halofenate
RL: RCT (Reactant); RACT (Reactant or reagent)
(resolution of or (phenoxy)phenylacetic acid deriva.)
26718-25-2 CAPIUS
Benzenaecetic acid, 4-chloro-o-(3-(trifluoromethyl)phenoxy)-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME) IT

4687-08-5P, (4-Chlorophenyl) (3-trifluoromethylphenoxy) acetic acid 24136-24-1P 24156-91-6P 818375-13-2P 818375-14-1P 818375-13-4P 818375-16-5P 818375-16-5P REP (Preparation); RACT (Reactant); SNN (Synthethic preparation); PREP (Preparation); RACT (Reactant or resgent) (resolution of a-(phenoxy)phenylacetic acid derive.) 4687-08-5 CAPLUS Benzenacetic acid, 4-chloro- a-(3-(trifluoromethyl)phenoxy)- (9CI) (CA INDEX NAME)

24136-24-1 CAPLUS Benzeneactic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

24158-91-6 CAPLUS Cinchonan-9-01, (8 α, 9R)-, mono((+)-4-chloro-α-[3-(trifluoromethyl)phenoxylbenzeneacetate| (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 23953-40-4 CMF C15 H10 C1 F3 03

Rotation (+).

CH 2

CRN 485-71-2 CMF C19 H22 N2 O

Absolute stereochemistry.

Absolute stereochemistry. Rotation (+).

sla375-15-4 CAPLUS
Cinchonan-9-01, 6'-methoxy-, (8 a,9R)-, mono[(-)-4-chloro-a-[3(rrifluoromethyl)phenoxylbenzeneacetate] (salt) (3CI) (CA INDEX NAME)

CM 1

CRN 23953-39-1 CMF C15 H10 C1 F3 O3

Rotation (-).

CM 2

CRN 130-95-0 CMP C20 H24 N2 O2

818375-16-5 CAPLUS
Benzeneacetic acid, 4-chloro- a-(3-(trifluoromethyl)phenoxy}-, (-)-, compd. vith (1R,2R)-2-amino-1-(4-nitrophenyl)-1,3-propanediol (1:1) (9CI) (CA IMDEX NAME)

CH 1

CRN 23953-39-1 CMF C15 H10 C1 F3 O3

818375-13-2 CAPLUS L-Tyrosine, hydraside, cono((+)-4-chloro- a-[3-(trifluoromethyl]phenoxylbenzeneacetate] (9CI) (CA INDEX HAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 C3

Rotation (+).

CRN 7662-51-3 CMF C9 H13 N3 O2

Absolute atereochemistry.

818375-14-3 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, {+)-, compd. with (18,28)-2-amino-1-(4-nitrophenyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 23953-40-4 CMP C15 H10 C1 F3 O3

Rotation (+).

CM 2

CRN 2964-48-9 CMF C9 H12 N2 O4

CM 2

CRN 716-61-0 CMF C9 H12 N2 O4

Absolute stereochemistry. Rotation (-).

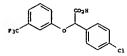
IT 24136-21-OP 818175-17-69 818375-18-7P 818375-19-8P RL: SPN (Synthetic preparation); PREP (Preparation) (resolution of α-(phenoxy)phenylacetic soid derivs.) RN 24136-23-0 CAPLUS CR: Bensenesectic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy)-, 2-(scetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

818375-17-6 CAPLUS
Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, (+)-, compd. with (1R,2R)-2-amino-1-(4-nitrophenyl)-1,3-propanediol (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 23953-40-4 CMF C15 H10 C1 F3 O3



CRN 716-61-0 CMF C9 H12 N2 O4

Absolute stereochemistry. Rotation (-).

818375-18-7 CAPLUS
Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
1-methylethyl ester (9CI) (CA INDEX NAME)

818375-19-8 CAPLUS
Benzeneacetic acid, 4-chloro-a-[3-{trifluoromethyl}phenoxy}-, sodium salt (9CI) (CA INDEX NAME)

REPERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:930984 CAPLUS

trifluoromethylphenoxy) acetate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation and use of (-)-(trihalomethylphenoxy) (halophenyl)acetates for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia and
hyperuricemia)
24136-23-0 CAPLUS
Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-,
2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

23953-40-4P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and use of (-)-(trihalomethylphenoxy) (halophenyl)acetates for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia)
23953-40-4 CAPLUS
Benzenaeccic acid, 4-chloro- q-[3-(trifluoromethyl)phenoxy]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

4687-08-5P, 4-Chlorophenyl(3-trifluoromethylphenoxy)acetic Acid
4923-90-0P, Methyl 4-chlorophenyl(3-trifluoromethylphenoxy)acetate
24158-91-6P
RL: RCT (Reactant); SPM (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and use of (-)-(trihalomethylphenoxy) (halophenyl)acetates for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia and
hyperuricemia)

hypervicenta)
4687-08-5 CAPLUS
Benzeneactic acid, 4-chloro- g-{3-(trifluoromethyl)phenoxy}- (SCI)
(CA INDEX NAME)

DOCUMENT NUMBER: TITLE:

140:4856
Preparation and use of (-)-(3-trihalomethylphenoxy) (4-halophenyl)acetates for treatment of insulin resistance, type 2 diabetes, hyperlipidenia and hyperuriccenia.
Luskey, Kenneth L.; Luo, Jian
Metabolex, Inc., USA, Diatex, Inc.
U.S. Pet. Appl. Publ., 53 pp., Cont.-in-part of U.S.
Ser. Mo. 744,788.
CODEN: USXXXCO

INVENTOR (S): PATENT ASSIGNEE (S): SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 2003220399	A1	20031127	US 2003-382186	20030304
	US 6262118	81	20010717	US 1999-325997	19990604
	US 6613802	81	20030902	US 2000-585907	20000602
	US 6646004	B1	20031111	US 2000-703487	20001031
	US 6624194	B1	20030923	US 2000-724788	20001128
	US 2005075396	A1	20050407	US 2003-660112	20030910
₽R	CORITY APPLN. INFO.:			US 1999-325997 A	1 19990604
				US 2000-585907 A	2 20000602
				US 2000-703487 A	2 20001031

OTHER SOURCE(S): MARPAT 140:4856 US 2000-724788 AJ 20001138

AB A method of treating type II diabetes comprises administration of the (-)stereoiscomer of 4-XC6H4CH(COZR)OCEHCXI-3 (R = OH, sraikoxy,
dialkylaminoslkoxy, silkansmidoslkoxy, benzamidoslkoxy, ureidoslkoxy,
alkylureidoslkoxy, carbamoylalkoxy, halophenoxyalkoxy, carbamoylalphenoxy,
carbomylalkylamino, dialkylaminoskylamino, hydroxyalkylamino, dialkylaminoshiphamino, hydroxyalkylamino, alkamolyloxyalkylamino, ureido, alkoxycarbomylamino; X
- halo) - Thus, a mixture of DMF, pyridine, and N-acetylethanolamine at
0-5° was treated with a solution of crude (-)-4-chlorophenyl(3trifluoromethylphenoxy)acetyl chloride in ether over 40 min. at
(-)-2-acetamidosthyl 4-chlorophenyl(3)-trifluoromethylphenoxy)acetate
[(-)-halofenate] (-)-Halofenates at 50 mg/kg orally in rats significantly
reduced plasma glucose, while (-)-halofenate did not.

IT 23933-39-1, (-)-4-Chlorophenyl(3-trifluoromethylphenoxy)acetic
acid

acid
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use);
BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation and use of (-)-(trihalomethylphenoxy) (halophenyl)acetates for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia and

hyperuricemia)
23953-39-1 CAPIUS
Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, (-)(9CI) (CA INDEX NAME)

IT 24136-23-0P, (-)-2-Acetamidoethyl 4-Chlorophenyl(3-

4925-90-0 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-{trifluoromethyl}phenoxy}-, methyl ester (9CI) (CA INDEX NAME)

24158-91-6 CAPLUS Cinchonan-9-01, (8 α, 9R)-, mono((*)-4-chloro-α-[3-(trifluoromethyl)phenoxy|benzeneacetate| (salt) (9CI) (CA INDEX NAME) CM 1

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

CM 2

24136-19-4P 24136-24-1P, (+)-2-Acctamidosthyl
4-Chlorophenyl(3-trifluorossthylphenoxy)acatate
RL: SPM (Synthetic preparation); PREP (Preparation)
(preparation and use of (-)-(trihalossthylphenoxy) (halophenyl)acetates for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia and
hyperuricemia)
24136-19-4 CAPUS
Cinchoman-9-ol, (8 a.9R)-, mono[(-)-4-chloro-n-[3(trifluorosethyl)phenoxylbenzeneacetate] (salt) (9CI) (CA INDEX NAME)

1

CRN 23953-39-1 CMP C15 H10 C1 F3 O3

Rotation (-).

CRN 485-71-2 CMF C19 H22 N2 O

Benzeneactic acid, 4-chloro- q-[3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1003.757469 CAPLUS

1092.76473

TITLB:

Preparation of substituted amides as antagonists and/or inverse agonists of the cannabinoid-1 receptor for therapy

INVENTOR(S):

Hagmann, William K.; Lin, Linue S.; Shah, Shrenik K.;

Outhikonde, Ravindre N.; Oi, Hongbo; Chang, Linda L.;

Liu, Ping; Armstrong, Helen M.; Jewell, James P.;

Lanza, Thomas J., J.

Merck & Co., Inc., USA; et al.

invention are useful as centrally acting drugs in the treatment of paychosis, memory deficits, cognitive disorders, migrain, neuropathy, neuro-infilementory disorders including multiple sclerosis and Quillain-Baratory disorders including multiple sclerosis and Quillain-Baratory disorders, and the inflammatory sequelae of viriance and Quillain-Baratory disorders, and schizophrenia. The compds. are also more disease, movement disorders, and schizophrenia. The compds. are also more disease, movement disorders, and schizophrenia. The compds. are also more disease, movement disorders, as well as the treatment of asthma, constipation, chronic single disorders, as well as the treatment of asthma, constipation, chronic single preparation are not claimed, more than 120 example prepas, of intermediates and y-880 example prepas, characterization data for a library of I are included. For I: R1 = C1-10-alkyl, C2-10-eycloalkyl, C1-10-eycloalkyl-C1-4-alkyl, aryl, aryl-C1-4-alkyl, heteroaryl, beteroaryl-C1-4-alkyl, -010, -020d, and -C(0) RRGRd, R2 = C1-10alkyl, C1-10-eycloalkyl-C1-4-alkyl, eycloheteroalkyl-C1-4-alkyl, -010, -020d, and -C(0) RRGRd, R2 = C1-10alkyl, C1-10eycloalkyl-C1-4-alkyl, aryl-C1-4-alkyl, aryl-C1-4-alkyl, aryl-C1-4-alkyl, aryl-C1-4-alkyl, aryl-C1-4-alkyl, aryl-C1-4-alkyl, aryl-C1-4-alkyl, aryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, heteroaryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, heteroaryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, heteroaryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, heteroaryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, aryl-C1-4-alkyl, heteroaryl-C1-4-alkyl, aryl-C1-4-alkyl, disryl-C1-4-alkyl, disryl-C1-4-alkyl, disryl-C1-4-alkyl, disryl-C1-4-alkyl, disryl-C1-4-alkyl, disryl

ACCESSION NUMBER: 2003:747893 CAPLUS
DOCUMENT NUMBER: 139:25578
TITLE: Preparation and accession and accession accession and accession a

13:255378

Preparation and use of (-)-(3-trihalomethylphenoxy)(4-halophenyllacetic acid derivatives for treatment of insulin resistance, type 2 diabetes, hyperlipidenia, and hypervicenia Luskey, Kenneth L.; Luo, Jian; Zhao, Zuchun Motabolex, Inc., USA, Diatex, Inc.
U.S., 49 pp., Cont.-in-part of U.S. 6,613,802.
CODEN: USEXAM
Patent
English
5

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND	DATE	APPLICATION NO.	DATE
B1	20030923	US 2000-724788	20001128
81	20010717	US 1999-325997	19990604
B1	20030902	US 2000-585907	20000602
AA	20020606	CA 2001-2430199	20011128
A2	20020606	WO 2001-US44603	20011128
C3	20030501		
	B1 B1 B1 AA A2	B1 20030923 B1 20010717 B1 20030902 AA 20020606 A2 20020606	B1 20030923 U3 2000-724788 B1 20010717 U3 1939-325997 B1 20030902 U3 2000-585907 AA 20020606 CA 2001-24130199 A2 20020606 MO 2001-U544603

SOURCE: PCT Int. Appl., 381 pp. CODEN: PIXXD2 DOCUMENT TYPE: LANGUAGE: FAMILY ACC, NUM. COUNT: PATENT INFORMATION:

PATENT	NO.			KIN	D	DATE			APPI	ICAT	ION	NO.		D.	ATB	
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WO 2003	0778	47		A2		2003	0925		NO 2	003-	US73	20		2	0030	307
WO 2003	0778	47		A3		2004	1104									
W:	AE,	AG,	AL,	AH,	AT.	AU.	AZ.	BA.	BB,	BG.	BR.	BY.	BZ,	CA,	CH.	CN,
	œ,	CR.	CU.	CZ.	DE,	DK.	DM,	DZ.	EC.	ER.	ES.	FI.	GB.	ŒD.	GE.	GH.
	GM,	HR.	HU,	ID.	IL.	IN.	IS.	JP.	KE.	KO.	KR.	KZ.	LC.	LK.	LR.	LS.
						MG,										
	PL.	PT.	RO.	RU,	SC.	SD,	SE.	SG.	EK.	SL.	TJ.	TH.	TN.	TR.	TT.	TZ.
	UA.	UG.	US.	UZ.	VC.	VN.	YU.	ZA.	ZM.	ZW						
RW:	CH,	ŒΝ,	KE,	LS,	MN,	MZ,	SD.	SL,	SZ.	TZ.	w,	ZM.	ZW.	AM,	AZ,	BY,
	KG.	KZ.	MD.	RU.	TJ.	TH.	AT.	BR.	BG.	CH.	CY.	CZ.	DE.	DK.	EE.	ES.
	FI.	FR.	GB.	GR.	HU.	IB,	IT.	LU.	MC.	NL.	PT.	RO.	SR.	BI.	SK.	TR.
						CN,										
CA 2478	183			AA		2003	0925		CA 2	003-	2478	183		2	0030	307
EP 1496	838			A2		2005	0119		EP 3	003-	7140	51		2	0030	307
R:	AT,	BE.	CH.	DE,	DK,	ES.	FR.	GB.	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.
						RO.										
JP 2005	5199	58		T2		2005	0707		JP 2	003-	5759	01		20	0030	307
US 2004	0588	20		A1		2004	0325	1	US 2	003-	3672	65		24	0030	312
US 2005	2340	61		A1		2005	1020	1	US 2	005-	1090	76		20	0050	119
PRIORITY APP	LN.	INFO	. :					1	US 2	002-	3635	97P		P 20	0020	312
								1	US 2	002-	4283	51P		P 20	0021	122
										003-						
									US 2	003-	3872	65		A3 2	0030	312
OTHER SOURCE	(S):			MAR	PAT	139:	2764	71								

Novel compds. of the structural formula I (e.g. N-[2,3-bis(4-chlorophenyl)-1-methylpropyl]-2-(pyrazol-1-yl)acetanide trifluoroscetate (base shown as II with relative streachem.); veriables defined below are antagonists and/or inverse agonists of the cannabinoid-1 (CBI) receptor (no data) and are useful in the treatment, prevention and suppression of diseases mediated by the CBI receptor. The compds. of the present

OTHER SOURCE(S):

The present invention provides the use of (-)-(1-trihalomethylphenoxy)(4-halophenyl)acetic acid derivs. I [wherein R = OH, alkoxy, heteroalkoxy, aryloxy, heteroalyloxy, aralkoxy, dislkylaminoslkoxy, alkansmidoslkoxy, arbenzanidoslkoxy, ureidoslkoxy, alkylureidoslkoxy, carbamoylalkoxy, halophenoxyalkoxy, carbamoylphenoxy, carbonylalkylamino, haloslkylamino, bylamino kalekylamino, baloslkylamino, baloslkylamino, bylamino; X = independently alkoylaxyalkylamino, ureido, or alkoxycarbonylamino; X = independently halo; or pharmaceutically acceptable salts thereof; and compns. thereof in the treatment of insulin resistance, typs 3 diabetes, hyperlipidemia, and hyperuricemia. The compns. contain the (-)- enantiomer of halofenate analogs I in an enantiomer access of at least 80% relative to the (-)- enantiomer and exhibit a reduced inhibition of cytochrome P 450 2C9 relative to compns. having about 0%

enantiomeric excess of the (-)-enantiomer. Examples include prepas. for invention compds, and eleven bioassays of halofenic acid, halofenate, and analogs. For instance, (-)-(4-chlorophenyl)(3-trifluoromethylphenoxy)acetyl chloride was coupled with H-acetylethanolanine using a catalytic amount of pyridine in DMF to give (-)-halofenate (II) in 734 yield. The latter lowered glucose most effectively and had effects that persisted longer than the racemate or (-)-enanticomer, offering improvement in insulin resistance and impaired glucose tolerance.

24136-23-29, (-)-2-Acetasidoethyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate 24136-28-19, (-)-2-Acetamidoethyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate 24136-28-19, (-)-2-Acetamidoethyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate are supplied to the supplied of the supplied to the supplied of t

hypervicesia)

24136-23-0 CAPLUS

Renzeneactic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,

2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

4687-08-5P, 4-Chlorophenyl(3-trifluoromethylphenoxy)acetic acid
23953-39-1P 23953-40-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (antidiabetic agent; preparation and use of (-)-halofenic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia)
4687-08-5 CAPLUS
Bentencaccic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

24091-97-2 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-{trifluoromethyl}phenoxy]-,
2-(benzoylamino)ethyl ester (9CI) (CA INDEX NAMS)

24100-51-4 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-amino-2-oxoethyl ester (9CI) (CA INDEX NAME)

312711-00-5 CAPLUS Benzeneacetic acid, 4-fluoro- α -[3-(trifluoromethyl)phonoxy]-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-01-6 CAPLUS
Benseneacutic acid, 4-brome- a-[3-(trifluoromethyl)phenoxy]-,
2-(acutylamino)ethyl seter (9CI) (CA INDEX NAME)

23953-39-1 CAPLUS Benzenescetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, (-)-(9CI) (CA INDEX NAMS)

23953-40-4 CAPLUS Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-, (+)-(SCI) (CA INDEX NAME)

21953-19-1DP, (-)-(4-Chlorophenyl)(3-trifluoromethylphenoxy)acetic acid, esters 24091-97-2P 24100-51-4P 312711-00-5P 312711-01-6P 312711-02-7P 312711-03-6P 312711-03-0P 312711-05-0P 312711-05-0P 312711-04-1P 312711-05-0P 31271 (Uses) (antidiabetic agent; preparation and use of (-)-halofenic acid derivs, for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia) 23953-39-1 CAPLUS Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

312711-02-7 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-, butyl
ester (9CI) (CA INDEX NAME)

312711-03-8 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-04-9 CAPLUS

Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-(dienthylamino)-2-oxomethyl ester (9CI) (CA INDEX NAME)

312711-05-0 CAPLUS Benzeneacetic acid, 4-bromo- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

312711-06-1 CAPAUS
Benzeneacetic scid, 4-chloro-a-{3-(trifluoromethyl)phenoxy}-,
2-(benzoylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

312711-07-2 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-amino-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

312711-08-3 CAPLUS
Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)-2-oxoethyl ester, (-)- (9Cl) (CA INDEX NAME)

CH 2

24158-91-6 CAPLUS Cinchonan-9-ol, $\{8\alpha,9R\}$ -, mono $\{(+)$ -4-chloro- α - $\{1-(trifluoromethyl)phenoxy]benzeneacetate<math>\}$ (salt) (9CI) (CA INDEX NAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

CRN 485-71-2 CMP C19 H22 N2 O

REFERENCE COUNT:

THERE ARE 75 CITED REPERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION MUMBER: 2003:68868 CAPLUS DOCUMENT NUMBER: 139:207799

26718-25-2P, 2-Acetamidoethyl 4-Chlorophenyl(3-trifluoromethylphenoxylacetate RL: PEP (Physical; engineering or chemical process); PYP (Physical process); SFW (Synthetic preparation); PEP (Preparation); PEO (Process) (intermediate; preparation and use of (-)-halofenic acid deriva. (or treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia) 26718-25-2 CAPLUS Benzenescetic acid, 4-chloro-α-(3-(trifluoromethyl)phenoxy)-, 2-(acetylamino)ethyl estor (9CI) (CA INDEX NACE)

4925-90-0P, Methyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate
24136-19-4P 24138-91-6P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation and use of (-)-halofenic acid derivs. for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and
hyperruricemia)
4225-90-0 CAPUIS
Benseneacetic acid, 4-chloro-α-{3-(trifluoromethyl)phenoxy}-, methyl
ester (9CI) (CA INDEX NAME)

24134-19-4 CAPLUS
Cinchonan-9-0.1
Circhonan-9-0.1
Ctrifluoromethyll phenoxylbenzeneacetatel (sait) (SCI) (CA INDEX NAME)

CM 1

CRN 23953-39-1 CMF C15 H10 C1 F3 O3

Rotation (-).

Preparation and use of (-)-(3-trihalomethylphenoxy)(4-halophenyllacetic acid derivatives for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia.

INVENTOR(S): Usekey, Kenneth L.; Luo, Jian Hatabolex, Inc., USA: Diatex, Inc.
USA:, 45 pp., Cont.-in-part of U.S. Ser. No. 325,997.

CODINERT TYPE: LANGUAGE: English
PAMILY ACC, NICH, COUNT: 5

PATENT INFORMATION:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6613802	91	20030902	US 2000-585907	20000602
US 6262118	91	20010717	US 1999-325997	19990604
ZA 2003000888	A	20040422	ZA 2003-888	20000602
ZA 2003000889	A	20040622	ZA 2003-889	20000602
NZ 528266	A	20050729	NZ 2000-528266	20000602
US 6624194	B1	20030923	US 2000-724788	20001128
ZA 2001009973	A	20030204	ZA 2001-9973	20011204
US 2003220399	A1	20031127	US 2003-382186	20030304
PRIORITY APPLN. INFO.:			US 1999-325997 A2	19990604
			US 2000-585907 A2	20000602
			US 2000-703487 AZ	20001031
			US 2000-724788 A2	20001128
OTUPP COIPCP/C).	MADDAT	110.707700		

The present invention provides the use of (-)-(3-trihalomethylphenoxy) (4-balophenyl)acetic acid derivs. I (wherein R = 0H, aralkoxy, alkylaminoalkoxy, alkanamidoalkoxy, bentamidoalkoxy, ureidoalkoxy, alkylureidoalkoxy, carbamoylalkoxy, balophenoxyalkoxy, carbamoylalphenoxy, carbomylalkylamino, dialkylaminoalkylamino, haloalkylamino, hydroxyalkylamino, alkanoyloxyalkylamino, ureido, or alkoxycarbomylamino; x = independently halo; or pharmaceutically acceptable salts thereof] and compms. thereof in the treatment of insulin resistance, type 2 diabetes,

hyperlipidemia, and hyperuricenia. The compns. contain the (-)anantiomer of halofenate analogs I in an anantiomeric
excess of at least 800 relative to the (-)- anantiomer and
exhibit a reduced inhibition of cytochrome P 450 205 relative to compns.
having about 0% anantiomeric excess of the (-)anantiomer. Examples include prepns. for invention compds. and
eleven bioessays of halofenic acid, halofenate, and analogs. For
instanca, (-)-(4-chlorophenyl) [3-trifluoromethylphenoxy] acetyl chloride
was coupled with M-acetylethanolasine using a catalytic amount of pyridine
in DOF to give (-)-halofenate [III] in 731 yield. The latter lowered
glucose most effectively and had effects that persisted longer than the
racemate or (-)- anantiomer. offering improvement in insulin
resistance and impaired glucose tolerance.
24136-23-079. (-)-2-Acetamidecthyl 4-Chlorophenyl (3trifluoromethylphenoxy)scetate 24136-24-19. (*)-2-Acetamidecthyl
4-Chlorophenyl(3-trifluoromethylphenoxy)scetate
RL: PAC (Pharmacological activity): PRT (Pharmacokinetics); SPN (Synthetic
preparation); USES (Uses)
(martidiabetic agent; preparation and use of (-)-halofenic acid derive. f
(antidiabetic agent; preparation and use of (-)-halofenic acid derive. f

(Preparation): USES (Uses)
(antidiabetic agent; preparation and use of (-)-halofenic acid derive, for
treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and
hyperuricemis)
24136-33-0 CAPLUS
Bentenesectic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-,
2-(acetylamino)ethyl ester, {-}- (9CI) (CA INDEX NAME)

Rotation (-).

24136-24-1 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phanoxy]-, 2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

4687-08-5P, 4-Chlorophenyl(3-trifluoromethylphenoxy)acetic acid 23553-39-1P 23553-40-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USSS (Uses) (antidiabetic agent; preparation and use of (-)-halofenic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia)
4687-08-5 CAPLUS
Benzeneacetic acid, 4-chloro- α-{3-(trifluoromethyl)phenoxy}- (9CI) (CA INDEX NAME)

23953-39-1 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, (-)-(9CI) (CA INDEX NAME)

23953-40-4 CAPLUS
Benzeneacetic acid, 4-chloro- α-{3-(trifluoromethyl)phenoxy}-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

23953-39-1DP, (-)-(4-Chlorophenyl) (1-trifluoromethylphenoxy)acetic acid, esters 24091-97-2P 24100-51-4P 312711-00-5P 312711-01-5P 312711-02-7P 312711-03-8P 312711-04-9P 312711-05-0P 312711-05-8P 312711-07-2P 312711-08-1P RIPROPERSON (1971-08-1P 312711-07-2P 312711-08-1P (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Uses) (antidiabetic agent; preparation and use of (-)-halofenic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricenia) 23953-39-1 CAPLUS Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-, (-)-(SCI) (CA INDEX NAME)

Rotation (-).

24091-97-2 CAPLUS α = 1.0 capture α = (3-(trifluoromethyl)phenoxy] -, 2-(benzoylamino)ethyl ester (9CI) (CA INDEX NAME)

24100-51-4 CAPLUS Benzeneactic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-mino-3-oxocthyl ester [9CI) (CA INDEX NAME)

312711-00-5 CAPLUS
Benzeneactic acid, 4-fluoro-a-[3-(trifluoromethyl)phenoxy]-,
2-(acctyleminolethyl ester (9CI) (CA INDEX NAME)

312711-01-6 CAPLUS Benzeneacetic acid, 4-bromo- α -{3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-02-7 CAPLUS Benzenescetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, butyl ester (9CI) (CA INDEX NOWE)

312711-03-8 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethy1)phenoxy]-,
2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-04-9 CAPLUS
Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)-2-oxoethyl ester (9CI) (CA INDEX NAME)

312711-05-0 CAPLUS Benzeneacstic acid, 4-bromo- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

312711-06-1 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(benzoylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

312711-07-2 CAPLUS

Benzeneactic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-mino-2-oxoethyl ester, (-)- (SCI) (CA INDEX NAME)

312711-08-3 CAPLUS Benzeneacetic acid, 4-chloro- q-[3-(trifluoromethyl)phenoxy]-, 2-(dimethylamino)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

CM 2

24158-91-6 CAPLUS Cinchonan-9-0. (8 a,9R)-, mono((+)-4-chloro-a-(3-(trifluoromethyl)phenoxylbenzeneacetate) (malt) (9CI) (CA INDEX NAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

CRN 485-71-2 CMP C19 H22 N2 O

REPERENCE COUNT:

THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION MUNGER: 2002:428842 CAPLUS DOCUMENT MUNGER: 137:15795

26718-25-2P, 2-Acetamidoethyl 4-Chlorophenyl(3-trif(luorosethylphenoxy)acetate RL: PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process) (intermediate; preparation and use of (-)-halofenic acid derive, for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricenia) 26718-25-2 CAPUS Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

AcNH-CH2-CH2-O

4925-90-0P, Methyl 4-Chlorophenyl(3-trifluoromethylphenoxy)acetate 24136-19-4P 24136-31-6P RE: RCT (Reacetant): 5PM (Symthetic preparation); PREP (Preparation); RACT (Reactant or resgent) (intermediate; preparation and use of (-)-halofenic acid derive. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricenia)

hypertricenta)
4925-90-0 CAPLUS
Benzeneacetic acid, 4-chloro- α-(3-(trifluoromethyl)phenoxy)-, methyl
ester (9CI) (CA INDEX NAME)

24136-19-4 CAPLUS Cinchonan-9-ol, $(8 \alpha, 9R)$ -, mono $\{(-)$ -4-chloro- α - $\{3-(trifluoromethyl)phenoxy\}benzenescetate<math>\}$ (salt) (9CI) (CA INDEX NAME)

CM 1

Rotation (-).

Use of (-)-(3-halomethylphenoxy)-(4-halophenyl) acetic acid derivatives for treatment of insulin resistance, type 2 diabetes, hyperlipidenie, and hyperuricemia, and preparation thereof

INVENTOR(S): Leak, Kenneth L., Luo, Jian, Zhao, Zuchun Hear, Kenneth L., Luo, Jian, Zhao, Zuchun Hear, Kenneth L., Luo, Jian, Zhao, Zuchun Hear, Langulach, CODER, PIXED2

DOCUMENT TYPE: Patent Langulach: Regish
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.

MILY ACC. NLM. COUNT.

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| MILY

OS 2000-585907 AZ 20000602

OTHER SOURCE (8): MARPAT 137:15795

AB The invention provides the use of (-)-(3-halomethylphenoxy)

(4-halophenyl)acetic acid derivs. and compns. in the treatment of insulin resistance, type 2 diabetes, hyperlipidents and hyperuricenia. It further provides (-)-(3-halomethylphenoxy)-(4-halophenyl) acetic acid derivs. that are useful for the treatment of insulin resistance. Type 2 diabetes, hyperlipidenia and hyperuricenia.

IT 26718-25-2

RL: PAC (Pharmacological activity); BIOL (Biological study)

((-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidenia, and hyperuricenia, and preparation thereof)

RN 26718-25-2 CAPLUS

Senzenacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAMS)

24136-23-0 CAPLUS
Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-,
2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

24136-24-1 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

433927-60-7 CAPLUS
Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy)-,
2-[(2-thiemylearbomyl)amino]ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

433927-61-8 CAPLUS
Benzeneacatic acid, 4-chloro- q-[3-(trifluoromethyl)phenoxy]-,
2-(4-morpholinyl)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

433927-62-9 CAPLUS
Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-, ethylester, (-)- (SCI) (CA INDEX NAGS)

312711-06-1 CAPLUS Benzenacetic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-, 2-(benzoylemino)ethyl ester, (-)- (9C1) (CA INDEX NAME)

312711-08-3 CAPLUS
Benzeneactic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy)-,
2-(dimethylamino)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

433927-57-2 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-methoxyethyl ester, (-)- (9CI) (CA INDEX NAMS)

Rotation (-).

433927-59-4 CAPLUS
Benzencetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-propenyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

433927-63-0 CAPLUS
Benzencaectic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-,
2-([sethylsulfonyl]amino]ethyl ester, (-)- (SCI) (CA INDEX NAME)

433927-64-1 CAPLUS
Benzeneactic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-chtoxy-2-oxocthyl ester, (-)- [9CI] (CA INDEX NAME)

433927-65-2 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-(trifluoromethyl)phenoxy}-, 2-(1-azetidinyl)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

RN 433927-66-3 CAPLUS
CN Benzeneacetic acid, 4-chloro-q-[3-(trifluoromethyl)phenoxy]-,
2-bydroxyethyl ester, (-)- (9CI) (CA INDEX RAME)

Rotation (-)

RN 433927-67-4 CAPLUS
CN Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(12-methyl-1-oxopropyl)eminojethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-69-6 CAPLUS
CN Benzeneacetic acid, 4-chloro-α-{3-(trifluoromethyl)phenoxy}-,
2-((28)-2-(aminocarbonyl)-1-pyrrolidinyl}-2-oxoethyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 433927-70-9 CAPLUS
CN Benzeneacetic scid, 4-chloro- a-{3-{trifluoromethyl}phenoxy}-,
2-{(methoxyacetyl)sminolethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-72-1 CAPLUS
CN Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-,
3-pyridinylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-74-3 CAPLUS
CN L-Proline, 1-[[[(4-chlorophenyl)[3-(trifluoromethyl)phenoxy]acetyl]oxy]ace
tyll-, ethyl ester [SCI) (CA INDEX NAME)

Absolute stereochemistry.

RN 433927-76-5 CAPLUS 4-chloro-α-[3-(trifluoromethyl)phenoxy]-, 2-(19-ypridinyl-arbonyl)amino|ethyl ester, (-)- (SC1) (CA INDEX NAME)

Rotation (-).

RN 433927-77-6 CAPLUS
CN Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]-,
2-[((phenylmethoxy)carbonyl]amino]ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-)

RN 433927-78-7 CAPLUS
CN Benzeneacetic acid, 4-chloro- α-{3-(trifluoromethyl)phenoxy}-,
2-[[(ethylamino)carbonyl]amino]ethyl ester, (-)- (9CI) (CA INDEX NAME)
Rotation (-).

RN 433927-79-8 CAPLUS
CN Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(acetyloxy)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 433927-80-1 CAPLUS
CN Benzeneactic acid, 4-chloro-q-[3-(trifluoromethyl)phenoxy]-,
(5-methyl-2-axo-1,3-diaxol-4-yl)methyl ester, (-)- (9C) (CA INDEX NAME)

Rotation (-).

RN 433927-81-2 CAPLUS
CN Benzeneacetic acid, 4-chloro- q-{1-(trifluoromethyl)phenoxy}-, phenyl ester, (-)- (9CI) (CA INDEX NAME)

433927-82-3 CAPLUS

Benzenescetic seid. 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(4-pyridinylcarbomyl)aminojethyl ester, (-)- (SCI) (CA INDEX NAME)

43397-83-4 CAPLUS
(Olycine, 2-[[(4-chlorophenyl)[3-(trifluoromethyl)phenoxylacetyl]oxylethyl
ester, (-)- [9CI) (CA INDEX ROWS)

433933-85-8 CAPLUS

Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
1-[[(cyclohexyloxy)carbonyl]oxy]etbyl cater, (-)- (9CI) (CA INDEX NAME)

Rotation (-). Currently available stereo shown.

IT 433927-56-1P 433927-58-3P 433933-86-9P

(Biological study); USES (Uses)

((-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hypervricemia, and preparation thereof)

24091-97-2 CAPLUS
Benzeneacetic acid, 4-chloro- a-(3-(trifluoromethyl)phenoxy}-,

2-(benzoylamino)ethyl ester (9CI) (CA INDEX NAME)

24100-51-4 CAPLUS
Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy}-,
2-mino-2-oxoethyl ester (9CI) (CA INDEX NAME)

312711-00-5 CAPLUS Benzeneacetic acid, 4-fluoro- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-01-6 CAPLUS
Benzeneacetic acid, 4-bromo- q-{3-(trifluoromethyl)phenoxy}-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-02-7 CAPLUS
Benzenaacetic acid, 4-chloro- q-[3-(trifluoromethyl)phenoxy]-, butyl

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Useo)

((-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derivs. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia, and preparation thereof)
433937-56-1 CAPLIS
Benscensestic acid. 4-chloro- a (3-(trifluoromethyl)phenoxyl-, 2-[(ethoxycarbonyl)aminolethyl ester, (-)- (SCI) (CA INDEX NAME)

Rotation (-).

433927-58-3 CAPLUS
Benzenescetic acid, 4-chloro- d-{3-(trifluoromethyl)phenoxy}-,
2-propynyl ester, {-)- (9CI) (CA INDEX NAME)

Rotation (-).

433933-86-9 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-pyrrolidinylmethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-). Currently available stereo shown.

24091-97-2 24100-51-4 312711-00-5 312711-01-6 312711-02-7 312711-03-8 312711-04-9 312711-05-0 312731-07-2 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

ester (9C1) (CA INDEX NAME)

312711-03-8 CAPLUS Benzeneacetic acid, 4-chloro- α -[3-(trifluoromethyl)phenoxy]-, 2-(dimethylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-04-9 CAPLUS
Benzeneactic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(dienthylamino)-2-oxoothyl ester (9CI) (CA INDEX NAME)

312711-05-0 CAPLUS Benzeneacetic acid, 4-bromo- α -[3-(trifluoromethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

312711-07-2 CAPLUS
Benzeneacetic acid, 4-chloro- a-{3-{trifluoromethyl}phenoxy}-,
2-amino-2-axoethyl ester, {-}- (SCI) (CA INDEX NAME)

23953-39-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological atudy); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation and reaction; (-)-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derive. for treatment of insulin resistance, type 2 diabetes, hyperlipidemia, and hyperuricemia, and preparation thereof) 23953-39-1 CAPLUS
Benzeneacetic acid, 4-chloro- a-(3-(trifluoromethyl)phenoxy)-, (-)-(9CI) (CA INDEX NAME)

4687-08-5P 4925-90-0P 23953-40-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction; (-)-(3-halomethylphenoxy)-(4-halophenyl)acetic
acid derive. for treatment of insulin resistance, type 2 diabetes,
hyperlipidemia, and hyperuricemia, and preparation thereof)
4687-08-5 CAPUUS
Benzeneacetic'acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy]- (9CI)
(CA INDEX NAME)

4925-90-0 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-, methyl
ester (9CI) (CA INDEX NAME)

PAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

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JP 2003501383 NZ 515992 ZA 2003000889 AU 775909 NZ 534266 NO 2001005509 ZA 2001005509	WO 2000074666 MO 2000074666 W: AE, AO, AL, CU, CZ, DB, ID, IL, IN, LV, MA, MD, SE, SO, SI, RW: GH, GM, KE, DE, DK, ES, CT, CG, CI, CA 227110 EN 200013142 EP 1181020 EP 1181020 EP 1181020 AZ 2003000888 ZA 2003000889 ZA 2003000888 ZA 10775999 NZ 528266 NO 2001005909	MO 20000746666 A2 MS 20000746666 A3 MS: ABS, AG, AL, AM, CU, CZ, DE, DIS, ID, IL, IN, DIS, IV, MA, MD, MO, SE, SG, SI, SK, ZA, ZM, AM, AL, DE, DK, ES, FI, CF, CG, CI, CM, SES, SO, SI, SK, AZ, ZM, AM, AL, BC, DE, DK, ES, FI, CF, CG, CI, CM, SES, SI, SI, SK, SES, SI, SI, SI, SI, SI, SI, SI, SI, SI, S	MO 2000074666 A3 W: AB, AO, AL, AM, AT, CU, CZ, DS, DK, DM, LV, MA, MD, MO, MO, MC, SS, SO, SI, SK, SL, AZ, AZ, AZ, AZ, AZ, AZ, AZ, AZ, AZ, AZ	MO 2000074666 A2 2000 MC 2000074666 A3 2001 MC 20, CZ, DE, DK, DM, DZ, ID, III, IN, IS, JP, KE, LV, MA, MD, MG, MK, MM, SE, SG, SI, SK, SL, TJ, ZA, ZW, AM, AZ, BY, KG, DE, DK, ES, FI, FR, GB, CF, CG, CI, CM, CA, GM, GM, US 562118 B1 2001 CA 2371723 A 2002 R: AT, BB, CH, DE, DK, ES, IB, SI, LT, V, FI, RO JP 2003501383 T2 2003 R2 100300001342 A 2002 R1 SSI, LT, V, FI, RO JP 2003501383 T2 2003 R2 3003000888 A 2004 R4 775909 B2 2004 RU 775909 RU 7759	MO 2000074666 A2 20011214 MO 2000074666 A3 20011106 W: AB. 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R: SOURCE(s): MARPAT 134:37035

The invention provides the use of (-)-(3-trihalomethylphenoxy)(4-halophenyllacetic acid derivs. [e.g. (-)-halofenate) and compme. in the treatment of insulin resistance, Type 2 diabetes, hyperlipidemia and hyperuricemis. Compound preparation is described.

#235-9-00 RL: RCT (Reactant): SPM (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)

[Preparation and reaction; trihalomethylphenoxy halophenyl acetate vative OTHER SOURCE(S):

derivative

varive for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia) 4925-90-0 CAPLUS Benzeneacetic acid, 4-chloro- α-[3-(trifluoromethyl)phenoxy)-, methyl ceter (9C1) (CA INDEX MAMS)

PRIC

26718-25-2
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(trihalomethylphenoxy halophenyl acetste derivative for treatment of IT

23953-40-4 CAPLUS

Renteneacetic acid, 4-chloro- q-[3-(trifluoromethyl)phenoxy]-, (*)(SCI) (CA INDEX RANG)

Rotation (+).

433927-55-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; (-).-(3-halomethylphenoxy)-(4-halophenyl)acetic acid derive.
for treatment of insulin resistance, type 2 diabetes, hyperlipidemia,
and hyperuricemia, and preparation thereof)
433927-55-0 CAPUS
Benzenescetic acid, 4-chloro-a-(3-(trifluoromethyl)phenoxy]-, cesium
salt. (-)- (9CI) (CA INDEX NAME)

Rotation (-).

L6 ANSWER 8 OF 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(8):
PATENT ASSIGNEE(8):
SOURCE:
DOCUMENT TYPE:

CAPLUS COPYRIGHT 2005 ACS on STN
2000:880950 CAPLUS
134:17905
Use of (-) - (1-tribalcomethylphenoxy) (4-the properties of the pr

insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia) 26718-25-2 CAPUS Benzeneacetic acid, 4-chloro- α -(3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAMS)

24136-24-1P
RL: BAC (Biological activity or effector, except adverae); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PRDC (Process) (trihalomethylphenoxy halophenyl acctate derivative for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia) 24136-24-1 CAPUMS
Benzeneacetic acid, 4-chloro- aci3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

24136-23-0P
RL: BAC (Biological activity or effector, except advarse); BPR (Biological process); BSV (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (trihalosethylphenoxy halophenyl acetate derivative for treatment of insulin resistance, type 2 diabetas, hyperlipidemia and hyperuricemia) 24136-23-0 CAPUS
Benzensacetic acid, 4-chloro-a-[1-(trifluorosethyl)phenoxy]-, 2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

4687-08-5P 23953-29-1P 23953-40-4P RJ: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPW (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent)
(trihalomethylphenoxy halophenyl acetate derivative for treatment of inaulin resistance, type 2 diabetes, hyperlipidemia and hyperuricenia)
4687-08-5 CAPUNS
BENERORECETIC acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]- (9CI)
(CA INDEX NOME)

23953-39-1 CAPLUS Benzeneacetic acid, 4-chloro- a-{3-(trifluoromethyl)phenoxy}-, (-)-(SCI) (CA IMDEX RAME)

Rotation (-).

23953-40-4 CAPLUS
Benzeneacetic acid, 4-chloro- a-{3-{trifluoromethyl}phenoxy}-, (+)-(5C1) (CA NNDEX NAME)

24091-97-2 24100-51-4 312731-00-5
312711-01-6 312711-02-7 312731-03-6
312711-04-9 312731-05-0 312731-03-6
312711-04-9 312731-05-0 312731-05-1
312731-07-2 312731-08-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological actudy, unclassified); TRU (Therapeutic use); BIOL (Biological actudy); USES (Uses)
(trihalomethylphenoxy halophenyl acetate derivative for treatment of insulin resistance, type 2 diabetes, hyperlipidemia and hyperuricemia)
34091-97-2 CAPUUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(benzoylamino)ethyl ester (9CI) (CA INDEX NAME)

24100-51-4 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-mmino-2-oxoethyl ester (9CI) (CA INDEX NAME)

312711-00-5 CAPLUS
Benzeneacetic acid, 4-fluoro- a-[3-(trifluoromethyl)phenoxy}-,
2-(acetylamino)ethyl ester {9CI} (CA INDEX NAME)

312711-01-6 CAPLUS
Benzeneacetic acid, 4-bromo-α-[3-(trifluoromethyl)phenoxy]-,
2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

312711-02-7 CAPLUS Benzeneacetic acid, 4-chloro- α -{3-(trifluoromethyl)phenoxy}-, butylester (9CI) (CA INDEX NAME)

312711-03-8 CADLUS
Benzeneactic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)othyl ester (9CI) (CA INDEX NAME)

312711-04-9 CAPLUS

Benzeneacetic acid, 4-chloro-a-[3-(trifluoromethyl)phenoxy]-,
2-(dimethylamino)-2-oxocthyl ester (9CI) (CA INDEX NAME)

312711-05-0 CAPLUS
Benzeneacetic acid, 4-bromo- a-[3-(trifluoromethyl)phenoxy}-,
2-(acetylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

312711-06-1 CAPLUS
Benzeneacetic acid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-(benzoylamino)ethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

312711-07-2 CAPLUS
Benzeneactic scid, 4-chloro- a-[3-(trifluoromethyl)phenoxy]-,
2-amino-2-oxoethyl ester, (-)- (SCI) (CA INDEX NAME)

312711-08-3 CAPLUS Benzenescetic acid, 4-chloro- α -{3-(trifluoromethyl)phenoxy}-, 2-(dienthylamino)-2-oxoethyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

L6 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(S):

Preparation of N-substituted heterocyclic derivatives and their pharmaceutical compositions as angiotensin II receptor antagonists
Armaud, Joelle; Assens, Jean Louis; Bernhart, Claude; Perrari, Bernard; Baudricourt, Prederique; Perraut, Pierre
PATENT ASSIGNEE(S):
SOURCE:

PATENT ASSIGNEE(S):
Elf Sanofi SA, Fr.
EUr. Pet. Appl., 89 pp.
CODEN: EPXIUM
Patent
PANILLY ACC. NUM. COUNT:
1

PATENT INFORMATION:

PATER	NT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 51	19831	A1	19921223	BP 1992-401715	19920619
1	R: AT, BB, CH,	DE, DK	, ES, FR, GE	G, GR, IT, LI, LU, NL,	PT, SE
FR 26	577984	A1	19921224	FR 1991-7685	19910621
PR 26	677984	B1	19940225		
JP 09	5186431	A2	19930727	JP 1992-160995	19920619
US 57	274104	A	19931228	US 1992-901145	19920619
PRIORITY A	APPLN. INFO.:			PR 1991-7685	A 19910621
OTHER SOUT	RCE(S):	MARPAT	119:95501		

Title heterocycles I [R1, R2 = C1-6 alkyl, C3-7 cyclosikyl, Ph, or phenylalkyl, with said alkyls, Ph, and phenylalkyls possibly substituted by one or more substituents chosen from halo, C1-4 perfluorosikyl, CH, C1-4 alkyl, Ph); R2' = C1-4 alkyl, Ph); R2' = C1-4 alkyl, Ph); R1R2 = (CH2)n or (CH2)pY(CH2)q; Y = O, S, CH (substituted by C1-4 alkyl, Ph); R1R2 = (CH2)n , R5 (R5 = R, alkyl, Phenylalkyl), C1-4 alkylcarbonyl, C1-4 halosikylcarbonyl, C1-4 polyhalosikylcarbonyl, Phenylalkyl, NF5 (R5 = R, alkyl, Phenylalkyl, C1-4 alkylcarbonyl, Phenylalkyl, Phenylalkyl) or R1, R2 form part of an indane or adamantane ring; R3 = H, halo-(un)substituted C1-6 alkyl, C2-6 alkenyl, C3-7 cyclosikyl, Ph, phenylalkyl with C1-3 alkyl, phenylalkyl with C2-3 alkenyl, in which the Ph groups are possibly substituted by halo, C1-4 alkyl, C1-4 holosikyl, C1-4 oplyhalosikyl, OH, C1-4 alkoxy; R4 aromatic group; p • q = m; n * 2-11; m * 2-5; X * O, S; Z, t * O or one i O, the other is 1; their salts) are prepared with 53 examples. Compds. I containing one or more chiral carbons are obtained as racemates or as mixts. of disstreorisomers. Compds. I are useful in the treatment of cardiovascular or central nervous system afflictions, for glaucoma and diabetic retinopathy. The compds. are angiotensin II receptor antagoniats. 147247-75

antagoniats.
147247-78.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological activity or effector, except adverse); BSU (Biological atudy, unclassified); SBN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological atudy); PRBP (Preparation); USES (Uses) (preparation of, as angiotensin II receptor antagonist)
147247-78-7 CAPULS
Benzeneacetic acid, a-[4-[42-buty]-4-oxo-1,3-distaspiro[4.4]non-1-en-3-yl)methyl)phenoxy)-2-chloro- (9CI) (CA INDEX HAME)

KIND A1 PATENT NO. DATE APPLICATION NO. DATE 19760227

Etherification of 4-CIC6H4CHBrCO2Me with 3- and 4-(F3CS)C6H4OH, and further standard reactions, gave six phenoxyscetic acids and eaters I (R - 3-SCF3, R - 8-K B. CHZCH3Mkc), which demonstrated anticholesteremic and lipid lowering activity. 60566-70-3P 60566-71-4P 60566-73-5P 60566-73-5P F0566-73-5P F0566-

60566-71-4 CAPLUS Benzeneacetic acid, 4-chloro- α-[4-[(trifluoromethyl)thio]phenoxy]-(9CI) (CA INDEX NAME)

60566-72-5 CAPLUS
BENZENBECETIC acid, 4-chloro- a-[3-[(trifluoromethyl)thio]phenoxy)-,
methyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 17
ACCESSION NAMEER:
DOCUMENT NUMBER:
TITLE:
AUTHOR(8):
CORPORATE SOURCE:
SOURCE:

DOCUMENT TYPE:
LANGUAGE:
DOCUMENT TYPE:
LANGUAGE:
GERBAR CORPORATE SOURCE:
CORPORATE SOURCE:
SOURCE:
CORPORATE SOURCE:
SOURCE:
SOURCE:
CORPORATE SOURCE:
CORPORATE SOURCE:
SOURCE:
SOURCE:
CORPORATE SOURCE:
CORPORATE SOURCE:
SOURCE:
SOURCE:
CORPORATE SOURCE

DOCUMENT TYPE: LANGUAGE: GI

The polymorphism of halofenate (I), minoxidil (II), nitrendipine (III), mopidamol (IV) and lorcainide-2RC1 (V-2RC1) is described. Com. prepns. of II. IV, and V were also mannitotropic and, with the exception of IV. underwent transformation upon heating. 26713e-25-2. Ralofenate
RL: BIOL (Biological study) (polymorphs of) 16718-25-2 CAPLIS
Benzenacectic acid, 4-chloro-a-{3-(trifluoromethyl)phenoxy}-, 2-(acetylamino)ethyl eater (9CI) (CA INDEX NAME)

L6 ANSMER 11 OF 17
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
INVENTOR(5):
PATENT ASSIGNEE(5):
SOURCE:
DOCUMENT TYPE:

DOCUMENT TYPE:

CAPLUS COPYRIGHT 2005 ACS on STN
196:542840 CAPLUS
85:142840 CAPLUS
Phenylacetic acid derivativea
Gludicelli, Don P. R. L.; Najer, Henry; Manoury,
Philippe M. J.; Rosper, Jean M. L. E.
Synthelabo S. A., Pr.
Fr. Demande, 11 pp.
COURN: FRXXBL
PATENT
PATENT
PATENT
PATENT

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

60566-73-6 CAPLUS Benzeneacetic acid, 4-chloro- α-[3-[(trifluoromethyl)thio]phenoxy]-(SCI) (CA INDEX NAVE)

60366-75-8 CAPLUS
Benzeneacetic acid, 4-chloro- a-{4-{(trifluoromethyl)thio]phenoxy}-, 2-(accylemino)ethyl ester (SCI) (CA INDEX NAME)

L6 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUNGER: 1975:31275 CAPLUS
DOCUMENT NUNGER: 22:31275
TITLE: Phenoxyacetic acid derivatives
Schacht, Brich; Mehrbof, Werner; Nowak, Herbert;
Siname, Zdenek; Kayaer, Detlev
PATENT ASSIGNEE(8): SCHECK: Queen, Kayaer, Detlev
COUNCE: CONCRETE TYPE: Determined to the control of th

Patent German 3

DOCUMENT TYPE:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DB 2312344	A1	19740919	DE 1973-2312344	19730313
ZA 7401400	A	19750226	ZA 1974-1400	19740304
HU 168080	₽	19760228	HU 1974-ME1715	19740307
US 3992386	A	19761116	US 1974-449332	19740308
BE 812121	A1	19740911	BE 1974-141858	19740311
PR 2221135	A1	19741011	FR 1974-8184	19740311
DD 110494	c	19741220	DD 1974-177100	19740311
GB 1422926	A	19760128	GB 1974-10723	19740311
NL 7403309	A	19740917	NL 1974-3309	19740312
JP 49125358	A2	19741130	JP 1974-28996	19740312
AU 7466547	A1	19750918	AU 1974-66547	19740312
ES 424179	A1	19770116	ES 1974-424179	19740312
AT 7402044	A	19770415	AT 1974-2044	19740312
AT 340420	В	19771212		
ES 446532	A1	19771016	ES 1976-446532	19760331
SE 7605082	A	19760504	SE 1976-5082	19760504
US 4053626	A	19771011	US 1976-724232	19760917
PRIORITY APPLN. INFO.:			DE 1973-2312344	A 19730313
			DE 1973-2319642	A 19730418
			DE 1973-2325184	A 19730518
			US 1974-449332	A3 19740308

● BC1

54394-96-6 CAPLUS Benzeneacetic acid, 4-chloro- α-[4-(3,4-dihydro-1(2H)-

quinolinyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

54394-99-9P 54395-00-5P 34395-01-6P
54395-10-7P 54395-11-8P 54395-12-0P
54395-15-2P 54395-17-4P 34395-20-9P
8L: SPN (Symthetic preparation); PREP (Preparation)
(preparation of)
54394-99-9 CAPLUS
Benzeneacctic acid, 3-chloro- a-{44'-(1-piperidiny1)}{1,1'-bipheny1}-4y1]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

54)95-00-5 CAPLUS
Benzeneacetic acid, 4-chloro- a.[[4'-(1-piperidinyl)[1,1'-biphenyl]-4-ylloxyl-, ethyl ester (9CI) (CA INDEX NAME)

54395-01-6 CAPLUS Benzeneacetic acid, 4-chloro- α - [4-(1H-pyrrol-1-yl)phenoxy]-, ethyleater (9C1) (CA INDEX NAME)

S4395-10-7 CAPLUS Benzeneacetic acid, 3-chloro- α -[4-(1-piperidinyl)phenoxy]- (9CI) (CA INDEX NAME)

54395-11-8 CAPLUS Benzeneacetic acid, 4-chloro- α-{4-(1-piperidinyl)phenoxy}- (9CI) (CA INDEX NAME)

54395-13-0 CAPLUS

Benzeneacetic acid, 4-chloro-u-[4-(3,4-dihydro-1(2H)-quinolinyl)phenoxy]-, compd. with N-(1-methylethyl)-2-propanamine (1:1)

(SCI) [CA INDEX NAMC]

CRN 54395-12-9 CRP C23 H20 C1 N O3

CRN 108-18-9 CMF C6 H15 N

i-Pr-NH-Pr-i

54395-15-2 CAPLUS
Bennenecetic acid, 4-chloro- a-[4-(1,2,3,4-tatrahydro-1-methyl-4-quinolinyl)phenoxy}-, compd. with N-(1-methylethyl)-2-propanamine (1:1)
(9C1) (CA INDEX NAME)

CH 1

CRN 54395-14-1 CMF C24 H22 C1 N O3

CH 2

i-Pr-NH-Pr-i

RN 54195-17-4 CAPLUS
CN Benzeneacetic acid, 4-chloro- α-(4-(4-(1-piperidinyl)phenoxy)-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 54395-16-3 CMF C25 H24 C1 N O4

CRN 108-91-8 CMP C6 H13 N

54395-20-9 CAPLUS Benzeneacetic acid, 4-chloro- α - [4-(3,4-dihydro-1(2H)-quinolinyl)phenoxy]-, propyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1570;21522 CAPLUS
TITLE: 2(3-Trifluoromethylphenoxy)(4-chlorophenyl)acetic acid derivatives
INVENTOR(S): Bolhofer, William A.
PATENT ASSIGNRE(S): Fr. Addn., 3 pp. Addn. to Fr. 1476525
CODEN: FAXKA3
DOCUMENT TYPE: LANKIAGE: PAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INPORMATION:

PATENT NO.

NIND DATE 19690221 19700000

APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

FR 93175 19690221
US 3517051 19700000 US

PRIORITY APPLM. INFO.:

AB Anides, salts, or esters of (3-trifluoro-methylphenoxy)(4-chlorophenyl)scetic acid (1) are prepared and I is resolved by using an alkaloid salt. Thus, SOC12 and I gave the I chloride, which was converted to m-FIGCHHOCH(CON)CGH-C-1-p (II), R = NH2, m. 133-5* (iso-PrOS). Other II prepared were (R and m.p. given): Me2N, 114-16* (iso-PROS); MeNH, 4-6* (BuCl); HONH, 117-19* (BUCl); and OKL, - (bb-2 136-40*, n25D 1.5150). d-I m. 98-100.5* (machlycyclohexams), (a]D > 5.3* (co.5, MeOH); 1-I m. 38-100* (mathylcyclohexams), (a]D -99* (co.5, MeOH); 1-I m. 38-100* (mathylcyclohexams), (a]D -99* (co.5, MeOH); 1-I m. 32-100* (mathylcyclohexams), (a]D -99* (co.5, MeOH); 1-I m. 32-100* (mathylcyclohexams), (a]D -99* (co.5, MeOH); 1-I m. 32-100* (mathylcyclohexams), (a]D -99* (co.5, MeOH).

IT 23953-39-10 23953-40-49 24136-19-49 24136-19-49 (preparation of) (preparation of)

ROTAL OF THE PROPERTY O

Rotation (-).

54395-21-0 CAPLUS
Benzenescetic acid, 4-chloro- a-{4-(3,4-dihydro-1(2H)-quinolinyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAMS)

IT

54395-25-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(asponification of)
54395-25-4 CAPUS
Benzenaceutic acid, 4-chloro- a-[4-(1,2,3,4-tetrahydro-1-methyl-4-quinolinyl)phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

13953-40-4 CAPLUS
Benzeneacetic acid, 4-chloro- a-(3-(trifluoromethyl)phenoxy)-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

24136-19-4 CAPLUS
Cinchonan-9-ol. (8 α,9R)-, mono[(-)-4-chloro-α-[3trifluoromethyl)phenoxy|benzenescetate] (salt) (9CI) (CA INDEX NAME)

CRN 23953-39-1 CMP C15 H10 C1 F3 O3

Rotation (-).

CRN 485-71-2 CMF C19 H22 N2 O

Absolute stereochemistry.

24158-91-6 CAPLUS
Cinchonan-9-ol, (8 a,9R)-, mono((+)-4-chloro-a-(3-(triflworomethyl)phenoxy)benzenescetate[(salt) (9CI) (CA INDEX NAME)

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

shanlute stereochemistry.

24789-71-7 CAPLUS Acetic acid, {p-chlorophenyl} [{ α,α,α -trifluoro-m-tolyl)oxy]-, ethyl ester (8CI) {CA INDEX NAME}

CRN 485-71-2 CMF C19 H23 N2 O

Absolute stereochemistry.

24158-91-6 CAPLUS Cinchonan-9-01, $(8 \, \alpha, 9R)$ -, mono $\{(*)$ -4-chloro- α - $\{1-(trifluoromethyl)phenoxy\}benzeneacetate<math>\}$ (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 23953-40-4 CMF C15 H10 C1 F3 O3

Rotation (+).

CPI 2

Absolute stereochemistry.

L6 ANSWER 14 OF 17 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

CAPILIS COPYRIGHT 2005 ACS on STN
1969:461008 CAPILIS
71:61008 Resolving dl-(3-trifluoromethylphenoxy) (4chlorophenyl)acetic ecid
Roberts, floyd E., Jr.
Merck and Co., Inc.
P.
P.
CODEN: FRIZAK
Patent
French
T: 1 INVENTOR(S): PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

FR 1538286 1982083 GB

PRIORITY APPLM. IMPO.:

AB The title process is effected by treating the d1-acid (1) with cinchonidine (11) to form the d-acid II salt (111a), separating the IIIa from the solution, treating it with acid to give the d-acid (1a), and allowing the mother liquor to stand for a prolonged time to precipitate the 1-acid II salt (IIIb), which is isolated and treated with acid to give the 1-acid (1b). Thus, 100 g, I and 89.3 g, II are added to 2000 cc. Me2GNDH at ambient temperature, the temperature is raised to the point of reflux (33°), cooled to 55°, kep? 3 hrs., the solid collected, washed with 200 cc. hot

Me2GNDH, dried to give 110 g, crude IIIa, m, 204-6°, which is refluxed with 2000 cc. ECO * 400 cc. MeOH, stirred, cooled overnight, and the product filtered to give 51.7 g. IIIa, m, 216-17° (decomposition), [a]D -29.8°, III a (7.1 g.) is added to a mixture of 200 cc.

SE20, 200 cc. E20, and 4 cc. concentrated H2EOH and the organic layer separated to give

1.95 g, Ia, m, 98-100.5°, [a]D 95.3° (c, 0.5, MeOH).

The mother-liquor which provided the crude IIIa is heated to obtain a complete solution, cooled, the small amount of solid removed at 30°, and the filtrate stirred 1 night at ambient temperature to give 8.7 g. IIIb, m. 200.5-1.5°, [a]D -95.8°; using the method for isolating Ia, 5.9 g. IIIb is converted to 2.7 g. Ib, m, 98-100°, [a]D -99° (0.5% in NeOH). I, Ia, and Ib effectively reduce the cholesterol concentration in blood serum, and ameliorate the effects due to deposition of blood lipids; the derived eaters and amidoss are said to have a similar therapeutic action

IX 2116-19-40 24158-91-69

RN 24136-19-40 24158-91-69

CM Cinchonan-9-01, (8 a, 9R)-, mono[(-)-4-chloro-a-(3-(crifuoromethyl)phenoxylbenzeneacetate) (sait) (9CI) (CA INDEX NAME)

CH 1

CRN 23953-39-1

23953-39-1 23953-40-4
RL: PROC (Process)
(resolution of)
23953-39-1 CAPLUS
Benzeneacetic acid, 4-chloro-α-[3-(trifluoromethyl)phenoxy]-, (-)(9C1) (CA INDEX NOWG)

23953-40-4 CAPLUS Benzeneacetic acid, 4-chloro-α-{3-(trifluoromethyl)phenoxy}-, (*)-(9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 17
ACCESSION NUMBER:
DOUBLEM! NUMBER:
1171E:
HVMENTOR(s):
PATENT ASSIGNRE(s):
SOURCE:
8. African, 41 pp. 71:12837
Radioopaque compounde
Felder, Ernst; Pitre, Davide
Bracco Industria Chimica S.p.A.
S. African, 41 pp.
CODEN: SYZIAB
Patent
English
1

DOCUMENT TYPE: LANGUAGE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE 19601022 ZA 6803089 DE 1767583

PR 1596452 PR 7890 GB 1228852 US 3553260 19710000

GB 122652

US 3553260

PRIORITY APPLM. INFO:

19710000

US

PRIORITY APPLM. INFO:

CH

19670529

GI For diagrams(θ), see printed CA Issus.

AB The title compde. (1), useful in cholecystography, bronchography, and hysteroalpingography, are prepared by alkylating the appropriate [3-acylamino-2,4,6-triiodophenoxylarylalkanoic acids. Thue, 0.1 mole 3-acetamino-2,4,6-triiodophenoxylarylalkanoic acids. Thue, 0.1 mole Na in 60 cc. EtOH at 40°, 26.7 g. Et α-bromophenylacetate [III] added at 80°-90°, and the mixture refluxed with etirring 40 hrs., added to 400 cc. H2O, and extracted with 700 cc. EtCOMe to give 49.5 g. Et α-(1-acetamido-2,4,6-triiodophenoxylphenylacetate, m. 147-8° (AcOEt). This compound (34.5 g.) was asponified by refluxing with 3 g. NAGN in 250 cc. MOO and 500 cc. H3O with stirring 1 hr and the mixture worked up to give 86% α-(3-acetamido-2,4,6-triiodophenoxylphenylacetic acid (11V), m. 221° (MeOul), Rf 0.22 on 8102 gel GF254 (19:1 CHCl)-AcOH). A solution of 0.015 mole EtI in 1 cc. Me2CO was added to 0.01 mole IV in 10 cc. 4N KOH dropwise with stirring at 10-5° during 15 min., stirring continued 3 hrs., the mixture diluted with 150 cc. H3O and extracted with EtO, and the aqueous phase acidified with

with 150 cc. H20 and extracted with EtD, and the aqueous phase acidified with HCl to give 6.95 g. crude a.(3-(N-ethylacetamido)-2.4.6triiodophenoxy)phenylacetic acid (1, R = Et, R1 = H, Ar = Ph), n.
135-40°. Trituration with a small amount of AcORt gave material m.
136°. neutral equivalent 700, Rf 0.52 om 5102 gel (19:1 CRC13-AcOH).
The Na and N-methylglucamine salts were prepared 1V (6.7 g.) was treated with 2.15 g. MeI in 10 cc. 4N KOR solution at 40° as deacribed above to give 74.54 I (R = R1 = Ne, Ar = Ph) (1a), n. 200-3* (ECOH), neutral equivalent 677, Rf 0.47 on 5102 gel 07254 (19:1 CRC13-AcORt). The Na and N-methylglucamine salts were prepared 3-Propiomanido-2.4.6-Ctriiodophenol (6.77 g.) was added to 2.4 g. Na in 60 cc. EKOH, 26.7 g. 111 added at 80-50°, and the mixture refluxed with stirring 40 hrs. and worked up as described to give 73 a (1-propiomamido-2.4.6triiodophenoxy)phenylacetata, m. 173-5°. This ester (35 g.) was saponified to give 73 a (1-propiomamido-2.4.6triiodophenoxy)phenylacetic acid (V), n. 205-6° (MeOH), Rf 0.32 on 8102 gel 07254 (19:1 CRC13-AcOH). V (6.77 g.) in 10 cc. 4N KOH was treated with 2.13 g. Mei in 1 cc. MeICO at 40° 3 hrs. and worked up to give 8.4 g. 1 (R = MG, R1 = Et, Ar = Ph) (1c), m. 92° (decomposition). The crystalline form of this compound was modified by 4- to (Recepting 1) and Composition of the section of the compound was modified by 4- to (Recepting 1) and Composition of the section of the compound was modified by 4- to (Recepting 1) and Composition of the compound was modified by 4- to (Recepting 1) and Composition of the compound was modified by 4- to (Recepting 1) and Composition of the compound was modified by 4- to (Recepting 1) and Composition of the compound was modified by 4- to (Recepting 1) and Composition of the compound was modified by 4- to (Recepting 1) and Composition of the compound was modified by 4- to (Recepting 1) and Composition of the composit

to give 8.4 g. 1 N. 8 Ps., As a. 1. As

gave St d-(3-acetamido-2,4,6-triiodophemoxy)-p-tolylacetate, m.
211" (EtOH). This ester was eaponified to give d-(3-acetamido-2,4,6-triiodophemoxy)-p-tolylacetic acid (VII). Et (2.35 g.) in 1.25 cc.
of Me2CO vas added dropwise with stirring at 30° to 0.01 mole of
VII in 10 cc. 4N KOH to give 6.5 g. I (R = Et, Rl = Me, Ar = p-MeCGH4), m.
175" (AcOKt). Rf 0.40. The Na and N-methylglucanine salts were
prepared VII (6.77 g.) was similarly treated with 2.15 g. MeI in 100 cc. 4N
KOH to give 6.55 g. I (R = Rl = Me, Ar = p-MeCGH4), m. 200" (EtOH),
Rf 0.15. The Na and N-methylglucanine salts were prepared
a-(3-Acetamido-2,4,6-triiodophemoxy)-m-tolylacetic acid (VIII), m.
175", Rf 0.1, was prepared in the same way as the p-isomer.
I (R = Et, Rl = Me, Ar = m-MeCGH4) m. 115" after sintering at
S5", Rf 0.67. The Na and N-methylglucanine salts were prepared I (R
= Rl = Me, Ar = m-MeCGH4) m. 185" (EtOH)6 Rf 0.60. The Na and
N-methylglucanine salts were prepared These two compds. were prepared from
VVII. IV (32.4 g.) was treated with 16.2 g. Et a-brome-otolylacetate in the presence of EtOMa 15 hrs. to give Et
a-(3-acetamido-2,4,6-triiodophemoxy)-o-tolylacetate. This ester was
saponified to give a-(3-acetamido-2,4,6-triiodophemoxy)-o-tolylacetate
acid (IX), m. 175-6", Rf 0.21. IX (27.1 g.) was treated with 8.4
g. MeI in 40 cc. of 4N KOH and 4 cc. of Ne2CO to give 11.7 g. I (R = Rl =
Me, Ar -o-MeCGH4), m. 186" (AcOKt), Rf 0.54. The Na and
N-methylglucanine salts were prepared No. 1 (17.0) was treated with 7.05
g. Eti in 30 cc. 4N KOH and worked up to give 63 of I (R = Et, R = Me, Ar
-o-MeCGH4), m. 180-2" (AcOKt), Rf 0.54. The Na and
N-methylglucanine salts were prepared No. 1 (17.9) in 80 cc. Me2CO was added
to a solution of 0.08 mole II and 0.33 mole 83 kOM in 95 cc. H2O and the
mixture stirred 3 hrs. at 40° and worked up to give 33 of I (R = Et, R = Me, Ar
-o-MeCGH4), m. 180-2" (AcOKt), Rf 0.54. The Na and
N-methylglucanine salts were prepared No. 1 (17.9) in 80 cc. H2O was added
to a solution of 0.08 mole II and 0.33 mole 8

23189-41-5 CAPLUS Benzeneacetic acid, α -[3-(acetylamino)-2,4,6-triiodophenoxy]-4-iodo(9CI) (CA NOMEX NAME)

23189-42-6 CAPLUS eacetic acid, c-[3-(acetylmethylamino)-2,4,6-triiodophenoxy]-4-(9CI) (CA INDEX NAME)

23280-17-3 CAPLUS Benzeneacetic acid, α -[3-{acetylethylamino}-2,4,6-triiodophenoxy]-4-iodo- (9CI) (CA INDEX NAME)

L6 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1947:19501 CAPLUS

OCCUMENT NUMBER: 41:19501 CAPLUS

41:19501 41:19501 APLUS

AUTHOR (8): 41:19501 APLUS

AUTHOR (8): Thompson, H. B.; Swanson, Carl P.; Norman, A. G.

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concentration of 10 p.p.m. After 4 days of growth the length of the primary

of each plant was measured. Inhibition of growth was determined by subtracting the average length of the primary roots of the treated seeds from that of the control seeds, expressed in percentage. In Test B (Kidney-Bean Single-Droplet Mater Test) kidney beans wers placed in pots containing 1 lb. soil. After 7-10 days such plant was treated with 0.02 mL, of an aqueous solution containing 200 p.p.m. (4 y) of the compound to be tested and 0.5% of Carbowax 1500. Treatment was applied to the upper surface of one of the primary leaves at a point along the midrib approx. one-eighth in. from the point of attachment of the blade and petiole. On the 10th day after treatment the fresh weight of that portion of each plant above the second node was determined Controls currented and lso treated with I were included in sach test. Tast C (Kidney-Bean Single-Droplet Oil Test) was

essentially the same as Test B but 0.01 mL, of solution was applied containing Sy in oil of the compound to be tested. Tri-Bu phosphate, at a concentration of 0.23, was used as a co-solvent for compds, not directly soluble or miscible with oil. The introduction of I could be accomplished only in this way. Close numerical agreement was not necessarily expected between the 3 tests. The degree of inhibition produced by I in Tests B and C at different times of the year was not wholly identical and was affected by rate of growth. Test A was the most reproducible and formed the primary basis for detection of inhibitory activity and was reliable in separating those compds, that possess a high inhibitory activity for most broad-leaved plants from those with little or no activity at the same concentration Satisfactory agreement was found between Tests A and B with discrepancies in the direction of a lower activity by Test B. Waristion between residual plants from those with live activity. Compds showing high activity are promising for use as herbicides. The compds. tested have been classified into groups according to activity and the results under 3 tests reported. The following, as Group I, are compds. passessing 800 or more of the activity of I in Test A: (2-bromo-4-chlorophenoxy) acetic acid, 800 (2,4,5-trichlorophenoxy) acetic acid, 800 (2,4-dichlorophenoxy) acetamide; (3-chloro-4-bromophenoxy) acetamide; (3-chloro-4-bromophenoxy) acetamide; (3-chloro-4-bromophenoxy) acetamide; (3-chloro-4-bromophenoxy) acetamide; (3-chloro-4-bromophenoxy) acetamide; (3-chlorophenoxy) acetamide; (3-chlorop

[2.hydraxy-3.[tris[hydraxymethy]]setbylamino].propyl]- a.(2,4-dichlorophenoxy]sectamids-RCL. The following, as Group II, are compdated in the component of the activity of I in Test A: 2-asinoethanol bia-[4(a-chlorophenoxy] acetate]; (d-bromophenoxy] acetate aid; d-Cl-carboxymethoxy-3-methy]-5-bromobenoxy] alyvolic acid; d-Cl-carboxymethoxy-3-methy]-5-bromobenoxy] alyvolic acid; d-Cl-carboxymethoxy-3-methy]-5-bromobenoxy] alyvolic acid; d-Cl-carboxymethoxy-3-methy]-5-bromobenoxy] alyvolic acid; d-Cl-carboxymethoxy-3-methy]-5-bromobenoxy] acid; acid; d-Cl-carboxymethyloxymet

acid: Et 8-(4-chlorophanyl)thioglycolate: 2-hydrony.3-carboxy.5-chlorotoluene: 4-hydroxy-3.5-dibromobensoic acid: 3-bydroxyethyl 2.4-dichlorophanyl ather; N# (iodoscety)sulfanilaside; 2-methyl-3-butylasinopropyl 4-(haryloxy)bencate-NC1 (2-methyl-4-chlorophanoxy)-acetic acid: N# (2-chlorophenoxy)acetate; 1-(2-methyl-4-chlorophenoxy)-acetate; 2-methyl-phenoxyl-acetate; 1-(2-methyl-4-chlorophenoxy)-acetate; 2-methyl-phenoxyl-acetate; 2-methyl-phenoxyl-acetate; 10-ortyl dibydrogen orthophaphate; 2-isopropylaminoethyl 2-butoxybensoate-NC1; Pf (2-methyl-4-chlorophenoxyl-acetate; 10-ortyl-phenoxyl-acetate; 10-ortyl

dibromopropylamine-HBF; salicylic acid. The following, as Group IV-B, are compds. insufficiently soluble in water for Test A to be performed but exhibiting 500 or more of the activity of I in either Test B or Test C: allyl (4-chiorophenoxy) acetate; 2-animonaphthoic acid; anyl (2,4-dichlorophenoxy) acetate; 2-animonaphthoic acid; anyl (2,4-dichlorophenoxy) acetate; isoanyl (2,4-dichlorophenoxy) acetate; pis-(4-chiorophenyl) [trichloromethyl) bethane; 1,1'-(bis-2-naphthoil) phenylmethane; 2-brown-1,5-dichlorobenzamide; 2-brown-3,5-dichlorobenzamide; 2-brown-3,5-dichlorobenzamide; 2-brown-3,5-dichlorobenzamide; 2-brown-3,5-dichlorobenzamide; 2-brown-3,5-dichlorobenzamide; 2-brown-3,5-dichlorobenzamide; 2-brown-2,3,4',5

2-trown-3,5-dichlorobenzoy] chloride; 2-brownethyl (2,4-dichlorophenoxy) acetate; 2-brownethyl (2,4-dichlorophenoxy) acetate; 2-brownethyl (2,4-dichlorophenoxy) acetate; 2-brownethyl (2,4-dichlorophenoxy) acetate; 2-chlorophenyl) acetate; 2-chlorophenoxyl) acetate; 3-chlorophenoxyl) acetate; 3-chlorophe

dimethylphemoxyacetamido|biphemyl; 1-(4-ethoxyphemyl)-3-phemylures; Et 2-bromo-3,5-dichlorophemoxie; Et (4-bromophemoxylacetate; Et (4-chlorophemoxylacetate; 2-ethylhexyl (2,4-dichlorophemoxylacetate; ethylhexyl (1,4-dichlorophemoxylacetate; 2-ethylhexyl-4-dichlorophemoxylacetate; 2-ethyl-6-dichlorophemoxylacetate; 2-ethyl-6-dichlorophemoxylacetate; 2-ethyl-6-dichlorophemoxyl-2,5-dichlorophemoxyl-2,5-dichlorophemoxyl-2,4-bia(2,4-dichlorophemoxyacetate; 1-ethyl-2,4-bia(2,4-dichlorophemoxyacetate; 2-hydroxyl-naphthyl)-3-phemoxylacetate; (2-hydroxyl-naphthyl)-3-phemoxylacetate; (2-hydroxyl-naphthyl)-3-phemoxylacetate; (2-hydroxyl-naphthyl)-3-phemoxylacetate; (2-hydroxyl-naphthyl)-3-phemoxylacetate; (3,4-5-trichlorophemoxylacetate; 4-nitro-N,N-dimethylaniline; octyl (3,4-dichlorophemoxylacetate; 3-phemoxylacetate; 3-phemox

bromophenylldithiocarbamate; 4-bromophenyl 1-naphthalenecarbamate; [2-bromo-4-phenylphenoxy]scotic acid; 4-bromophenyl)-3-phenylurea; 1-(3-bromophenyl)-3-phenylurea; 1-(4-bromophenyl)-3-phenylurea; 1-(4-bromophenyl)-3-phenylurea; 1-(4-bromophenyl)-3-phenylurea; 1-(4-bromophenyl)-3-phenylurea; 1-(4-bromophenyl) a,a,a-trichloroacutanide; 3-butylaminoethyl a,bromophenyl) a,bromophenyll a,bromophenyll a,c,a-trichloroacutanide; 2-butylaminoethyl diphenylacutate-HCl; 2-butylaminoethyl 4-(heptyloxy)benzoate-HCl; 2-butylaminoethyl 2-(thiobutoxy)benzoate; (3-sec-butyl-4-chlorophenoxy) acutic acid; Hg butyldithiocarbamate; Hg-12-butylaminoethyl 2-(thiobutoxy)benzoate; (3-sec-butyl-4-chlorophenoxy) acutic acid; Hg butyldithiocarbamate; Hg-12-butylaminoethyl 2-(thiobutoxy)benzoate; (3-sec-butyl-4-chlorophenoxy)-acutic acid; Hg-12-butylaminoethyl 2-(thiobutoxy)benzoate; Hg-12-butylaminoethyl 2-(thiobutoxy)benzoate; Hg-12-butylaminoethyl 2-(thiobutoxy)benzoate; Hg-12-butylaminoethyl 2-(thiobutoxy)benzoate; Hg-12-butylaminoethyl 2-(thiobutoxy)benzoate; Hg-12-butylaminoethyl

dibromodihydrocinnemic acid; 4,6-dibromo-1,3-dihydroxybenzene; (2,6-dibromo-4-methylphenoxylacetic acid; 3,4-dibromophenyl phenylcarbamate; α, β-dibromo-y-phenylprojonanide; bie (2-butyroxyethyl) sulfame; 2,5-dichloro-4-mainobenzenesulfanic acid; 2,8-dichloromaineole; 3,6-dichlorobenzenomeindophenol sodium salt; 2,5-dichlorobenzenomeindophenol sodium salt; 2,5-dichlorobenzenomeindophenol sodium salt; 3,5-dichlorobenzenomeindophenol sodium salt; 3,6-dichlorobenzenomeindophenol sodium salt; 3,6-dichlorobenzenomeindophenol sodium salt; 3,6-dichlorobenzenomeindophenol sodium salt; 3,6-dichlorobenzenomeindophenol; 3,6-dichlorobenzenomeindophenol; 3,6-dichlorobenzenomeindophenol; 3,8-dichlorobenzenomeindophenol; 3,8-dichlorobenzenomeindophenol; 4,6-dichlorobenzenomeindophenol; 2,4-dichlorobenzenomeindophenol; 2,4-dichlorobenzenomeindophenol; 2,4-dichlorobenzenomeindophenol; 2,4-dichlorophenol; 2,4-dichlorophenol; 1-(2,4-dichlorophenol; 2,4-dichlorophenoxy) -2,4-dichlorophenoxy) -2 S7226-02-5 CAPLUS
Benzeneacetic acid, 4-chloro- d-(2,4-dichlorophenoxy)- (9CI) (CA
INDEX NAME) 57226-04-7 CAPLUS
Benzeneacetic acid, 4-chloro- α-(4-chlorophenoxy)- (9CI) (CA INDEX
NAME)

L6 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1947:18896 CAPLUS
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ORIGINAL REFERENCE NO.: 41:13774i,3775a-i,3776a-d
New compounds as plant growth regulators
AUTHOR(6): New compounds as plant growth regulators
Newman, Melvin S.: Fones, Wm.; Renoll, Mary

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CODEN: JACSAT; ISSN: 0002-7863
JOURNAL TYPE: Journal
HARGE: Unavailable
The following compds: were prepared for testing for plant growth regulating activity (cf. Norman, C.A. 41, 1902c). Substituted phenoxyacetic acide were prepared by condensing the phenol with BrCHACOZET in ECOH and excess concentrated aqueous K2CO3, followed by saponification (yield on basis of bol):

The following compds. were prepared for testing for plant growth regulating activity (cf. Norman, C.A. 41, 1902c). Substituted phenoxyacetic acids were prepared by condensing the phenol with BrCH2CO2Et in ECOH and excess concentrated aqueous EZCO3, followed by saponification (yield on basis of small).

136-40-4. 40.31; 2-chloro-4-lodo, m. 138-41; 2,4-di-Br, m.

136-40-3. 40.31; 2-chloro-4-lodo, m. 138-41; 2,4-di-Br, m.

151.8-1.35; 554 (Me seter, b. 150-, 658); 2,4-di-Jr, m.

155.7*, 21; 2-seckyl-4-bromo, m. 122-4*, 34.58;

2-acctyl-4-chloro, m. 174-6*; 2-cthyl-4-chloro, n. 109-12*,

40.51; 2-allyl-4-chloro, m. 174-6*, 2-cthyl-4-chloro, n. 109-12*,

40.51; 2-allyl-4-chloro, m. 174-6*, 2-cthyl-4-chloro, m. 110.8-11.2*,

191; 2-amyl-4-chloro, m. 123-5*, 5*, 10.6*; a-(p-chlorophenyl)-2,4-dichloro, m. 127-5*, 5*, 10.6*; a-(p-chlorophenyl)-2,4-dichloro, m. 128-40.5*, 6.3; a-(p-chlorophenyl)-2,4-dichloro, m. 128-40.5*, 6.3; a-(p-chlorophenyl)-2,4-dichloro, m. 136-40.5*, 6.3; a-(p-chlorophenyl)-2,4-dichloro, m. 136-40.5*, 6.3; a-(p-chlorophenyl)-2,4-dichloro, m. 136-40.5*, 6.3; a-(p-chlorophenyl)-2,4-dichloro, m. 136-40.5*, 6.3; a-(p-chlorophenyl)-2,4-dichloro, m. 136-50.5*, 6.0; m. 136-30.5*, 6.0; a-(p-chlorophenyl)-2,4-dichlorophenyl-3-chlorophenyl-3-p-chlorophenyl-

pane derive, were prepared from the phenol and epichlorohydrin with aqu

pane derive, were prepared from the phenol and epichlorohydrin with aque DB 48 h. at room temperature: 1-(2,4-dichlorophenoxy), bl 107-3*, nD25 1.5565, 104; p-chlorophenoxy analog, bl 83-5*, nD25 1.5430, 364; m-trifluoromethylphenoxy analog, bl 80-3*, nD25 1.5450, 234; 2-methyl-4-chlorophenoxy analog, bl 103-5*, nD25 1.5450, 234; 2-methyl-4-chlorophenoxy analog, bl 103-5*, nD25 1.5365, 234; 2-methyl-4-chlorophenoxy analog, bl 103-5*, nD25 1.5365, 234; 2-methyl-4-chlorophenoxy analog, bl 103-5*, nD25 1.5365, 234; 2-methyl-4-chlorophenoxy new force no (carboxymethyl) compared to (-(carboxymethyl) com

57226-04-7 CAPLUS Benzeneacetic acid, 4-chloro- α -(4-chlorophenoxy)- (9CI) {CA INDEX NAME)

h., gives 66% Et 2,4-dichlorophenylcarbonate, bl 98-9°, nD20 1.5180; 2,4-di-Br analog b2 135-6°, nD20 1.5574, 79%. 2,3-Epoxypro

SESSION WILL BE HELD FOR 60 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 13:37:27 ON 10 NOV 2005